

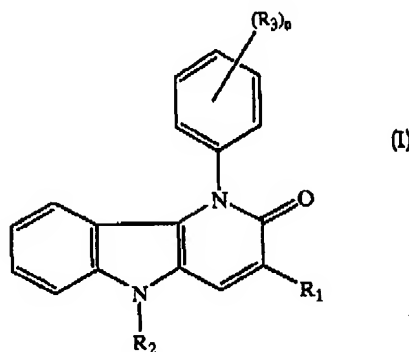
FEB 27 2009

U.S.S.N. 10/535,007

Listing of Claims:

The following listing of claims will replace all prior versions, and listings, of claims in the application:

1. (currently amended) A compound of formula (I)



~~its N-oxide, salt or its pharmaceutically acceptable salts, stereoisomeric form, racemic mixture, prodrug, ester or metabolite, wherein~~

n is 1, 2 or 3;

R₁ is hydrogen, cyano, halo, aminocarbonyl, hydroxycarbonyl, C₁₋₄alkyloxycarbonyl, C₁₋₄alkylcarbonyl, mono- or di(C₁₋₄alkyl)aminocarbonyl, arylaminocarbonyl, N-(aryl)-N-(C₁₋₄alkyl)aminocarbonyl, methanimidamidyl, N-hydroxy-methanimidamidyl, or mono- or di(C₁₋₄alkyl)methanimidamidyl, or Het₁ or Het₂;

R₂ is hydrogen, C₁₋₁₀alkyl, C₂₋₁₀alkenyl, C₃₋₇cycloalkyl, wherein said C₁₋₁₀alkyl, C₂₋₁₀alkenyl and C₃₋₇cycloalkyl, each individually and independently, may be optionally substituted with a substituent selected from the group consisting of cyano, NR_{4a}R_{4b}, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, 4-(C₁₋₄alkyl)-piperazinyl, morpholinyl, thiomorpholinyl, 1-oxothiomorpholinyl, 1,1-dioxo-thiomorpholinyl, aryl, furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, triazinyl, hydroxycarbonyl, C₁₋₄alkylcarbonyl, N(R_{4a}R_{4b})carbonyl, C₁₋₄alkyloxycarbonyl, pyrrolidin-1-ylcarbonyl, piperidin-1-ylcarbonyl, homopiperidin-1-ylcarbonyl, piperazin-1-ylcarbonyl, 4-(C₁₋₄alkyl)-piperazin-1-ylcarbonyl, morpholin-1-ylcarbonyl, thiomorpholin-1-ylcarbonyl, 1-oxothiomorpholin-1-ylcarbonyl and 1,1-dioxo-thiomorpholin-1-ylcarbonyl;

R₃ is nitro, cyano, amino, halo, hydroxy, C₁₋₄alkyloxy, hydroxycarbonyl, aminocarbonyl, C₁₋₄alkyloxycarbonyl, mono- or di(C₁₋₄alkyl)aminocarbonyl, C₁₋₄alkylcarbonyl, methanimidamidyl, mono- or di(C₁₋₄alkyl)methanimidamidyl, *N*-hydroxy-methanimidamidyl or Het₁;

R_{4a} is hydrogen, C₁₋₄alkyl or C₁₋₄alkyl substituted with a substituent selected from the group consisting of amino, mono- or di(C₁₋₄alkyl)amino, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, 4-(C₁₋₄alkyl)-piperazinyl, morpholinyl, thiomorpholinyl, 1-oxothiomorpholinyl and 1,1-dioxo-thiomorpholinyl;

R_{4b} is hydrogen, C₁₋₄alkyl or C₁₋₄alkyl substituted with a substituent selected from the group consisting of amino, mono- or di(C₁₋₄alkyl)amino, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, 4-(C₁₋₄alkyl)-piperazinyl, morpholinyl, thiomorpholinyl, 1-oxothiomorpholinyl and 1,1-dioxo-thiomorpholinyl;

aryl is phenyl optionally substituted with one or more substituents each individually selected from the group consisting of C₁₋₆alkyl, C₁₋₄alkoxy, halo, hydroxy, amino, trifluoromethyl, cyano, nitro, hydroxyC₁₋₆alkyl, cyanoC₁₋₆alkyl, mono- or di(C₁₋₄alkyl)amino, aminoC₁₋₄alkyl, mono- or di(C₁₋₄alkyl)aminoC₁₋₄alkyl;

Het₁ is a 5-membered ring system wherein one, two, three or four ring members are heteroatoms each individually and independently selected from the group consisting of nitrogen, oxygen and sulfur, and wherein the remaining ring members are carbon atoms; and, where possible, any nitrogen ring member may optionally be substituted with C₁₋₄alkyl; any ring carbon atom may, each individually and independently, optionally be substituted with a substituent selected from the group consisting of C₁₋₄alkyl, C₂₋₆alkenyl, C₃₋₇cycloalkyl, hydroxy, C₁₋₄alkoxy, halo, amino, cyano, trifluoromethyl, hydroxyC₁₋₄alkyl, cyanoC₁₋₄alkyl, mono- or di(C₁₋₄alkyl)amino, aminoC₁₋₄alkyl, mono- or di(C₁₋₄alkyl)aminoC₁₋₄alkyl, arylC₁₋₄alkyl, aminoC₂₋₆alkenyl, mono- or di(C₁₋₄alkyl)aminoC₂₋₆alkenyl, furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, aryl, hydroxycarbonyl, aminocarbonyl, C₁₋₄alkyloxycarbonyl, mono- or di(C₁₋₄alkyl)aminocarbonyl, C₁₋₄alkylcarbonyl, oxo, thio; and wherein any of the foregoing furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl and triazolyl moieties may optionally be substituted with C₁₋₄alkyl;

~~Het₂ is pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl or triazinyl, wherein any ring carbon atom of each of said 6-membered nitrogen-containing aromatic rings may optionally be substituted with a substituent selected from the group consisting of C₁₋₄alkyl;~~

provided that the compound of formula (I) is different from
2,5-dihydro-1-(4-nitrophenyl)-2-oxo-1H-pyrido[3,2-b]indole-3-carbonitrile, and
2,5-dihydro-5-methyl-1-(4-nitrophenyl)-2-oxo-1H-pyrido[3,2-b]indole-3-carbonitrile.

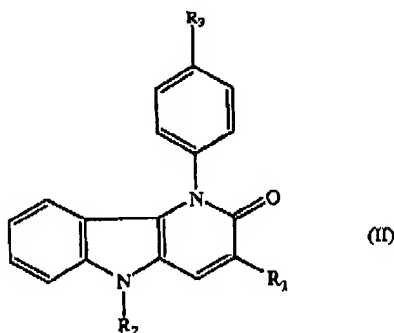
2. (Original) A compound according to claim 1 wherein n is 1, R₃ is nitro, R₁ is cyano, C₁₋₄alkyloxycarbonyl or C₁₋₄alkylaminocarbonyl; and R₂ is hydrogen or C₁₋₆alkyl.
3. (previously presented) A compound according to claim 1 wherein n is 1 or 2;
R₃ is nitro, cyano, amino, halo, hydroxy, C₁₋₄alkyloxy, hydroxycarbonyl, aminocarbonyl, aminothiocarbonyl, C₁₋₄alkyloxycarbonyl, C₁₋₄alkylcarbonyl, mono- or di(C₁₋₄alkyl)methanimidamidyl, N-hydroxy-methanimidamidyl or Het₁.
4. (currently amended) A compound according to claim 1 wherein R₁ is hydrogen, cyano, halo, aminocarbonyl, hydroxycarbonyl, C₁₋₄alkyloxycarbonyl, arylaminocarbonyl, N-hydroxy-methanimidamidyl, mono- or di(C₁₋₄alkyl)methanimidamidyl, or Het₁-or-Het₂; and
aryl is phenyl optionally substituted with one or more substituents each individually selected from the group consisting of C₁₋₆alkyl, C₁₋₄alkoxy, cyano, nitro; and
Het₁ is a 5-membered ring system wherein one, two, three or four ring members are heteroatoms each individually and independently selected from the group consisting of nitrogen, oxygen and sulfur, and wherein the remaining ring members are carbon atoms; and, where possible, any nitrogen ring member may optionally be substituted with C₁₋₄alkyl; any ring carbon atom may, each individually and independently, optionally be substituted with a substituent selected from the group consisting of C₁₋₄alkyl, C₃₋₇cycloalkyl, halo, cyano, trifluoromethyl, cyanoC₁₋₄alkyl, mono- or di(C₁₋₄alkyl)amino, mono- or di(C₁₋₄alkyl)aminoC₂₋₆alkenyl, isoxazolyl, aryl, hydroxycarbonyl, C₁₋₄alkyloxycarbonyl, oxo, thio; and wherein the foregoing isoxazolyl may optionally be substituted with C₁₋₄alkyl; and
~~Het₂ is pyridyl.~~

5. (Previously presented) A compound according to claim 1 wherein R_2 is hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{3-7} cycloalkyl or C_{1-10} alkyl substituted with substituent selected from the group consisting of cyano, $NR_{4a}R_{4b}$, pyrrolidinyl, piperidinyl, 4-(C_{1-4} alkyl)-piperazinyl, morpholinyl, aryl, imidazolyl, pyridyl, hydroxycarbonyl, $N(R_{4a}R_{4b})$ carbonyl, C_{1-4} alkyloxycarbonyl or 4-(C_{1-4} alkyl)-piperazin-1-ylcarbonyl; and R_{4a} is C_{1-4} alkyl; and R_{4b} is C_{1-4} alkyl or C_{1-4} alkyl substituted morpholinyl.
6. (currently amended) A compound according to claim 1 wherein R_2 is hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{3-7} cycloalkyl or C_{1-10} alkyl substituted with substituent selected from the group consisting of cyano, $NR_{4a}R_{4b}$, pyrrolidinyl, piperidinyl, 4-(C_{1-4} alkyl)-piperazinyl, morpholinyl, aryl, imidazolyl, pyridyl, hydroxycarbonyl, $N(R_{4a}R_{4b})$ carbonyl, C_{1-4} alkyloxycarbonyl or 4-(C_{1-4} alkyl)-piperazin-1-ylcarbonyl; and aryl is phenyl optionally substituted with one or more substituents each individually selected from the group consisting of C_{1-6} alkyl, C_{1-4} alkoxy, cyano, and nitro.
7. (currently amended) A compound according to claim 1 wherein R_2 is hydrogen, C_{1-10} alkyl, C_{2-10} alkenyl, C_{3-7} cycloalkyl or C_{1-10} alkyl substituted with substituent selected from the group consisting of cyano, $NR_{4a}R_{4b}$, pyrrolidinyl, piperidinyl, 4-(C_{1-4} alkyl)-piperazinyl, morpholinyl, aryl, imidazolyl, pyridyl, hydroxycarbonyl, $N(R_{4a}R_{4b})$ carbonyl, C_{1-4} alkyloxycarbonyl or 4-(C_{1-4} alkyl)-piperazin-1-ylcarbonyl; and aryl is phenyl optionally substituted with one or more substituents each individually selected from the group consisting of C_{1-6} alkyl, C_{1-4} alkoxy, cyano, and nitro; and R_{4a} is C_{1-4} alkyl; and R_{4b} is C_{1-4} alkyl or C_{1-4} alkyl substituted morpholinyl.
8. (Previously presented) A compound according to claim 1 wherein R_3 is nitro, cyano, amino, halo, hydroxy, C_{1-4} alkyloxy, hydroxycarbonyl, aminocarbonyl, aminothiocarbonyl, C_{1-4} alkyloxycarbonyl, C_{1-4} alkylcarbonyl, mono- or di(C_{1-4} alkyl)methanimidamidyl, *N*-hydroxy-methanimidamidyl or Het_1 ; and Het_1 is a 5-membered ring system wherein one, two, three or four ring members are heteroatoms each individually and independently selected from the group consisting of nitrogen, oxygen and sulfur, and wherein the remaining ring members are carbon atoms; and, where possible, any nitrogen ring member may optionally be substituted with C_{1-4} alkyl;

any ring carbon atom may, each individually and independently, optionally be substituted with a substituent selected from the group consisting of C₁₋₄alkyl, C₃₋₇cycloalkyl, halo, cyano, trifluoromethyl, cyanoC₁₋₄alkyl, mono- or di(C₁₋₄alkyl)amino, mono- or di(C₁₋₄alkyl)aminoC₂₋₆alkenyl, isoxazolyl, aryl, hydroxycarbonyl, C₁₋₄alkyloxycarbonyl, oxo, thio; and wherein the foregoing isoxazolyl may optionally be substituted with C₁₋₄alkyl.

9. (currently amended) A compound according to claim 1 wherein n is 1 or 2, more in particular wherein n is 1; and R₁ is hydrogen, cyano, halo, aminocarbonyl, hydroxycarbonyl, C₁₋₄alkyloxycarbonyl, arylaminocarbonyl, N-hydroxy-methanimidamidyl, mono- or di(C₁₋₄alkyl)methanimidamidyl or, Het₁ or Het₂; and R₂ is hydrogen, C₁₋₁₀alkyl, C₂₋₁₀alkenyl, C₃₋₇cycloalkyl or C₁₋₁₀alkyl substituted with substituent selected from the group consisting of cyano, NR_{4a}R_{4b}, pyrrolidinyl, piperidinyl, 4-(C₁₋₄alkyl)-piperazinyl, morpholinyl, aryl, imidazolyl, pyridyl, hydroxycarbonyl, N(R_{4a}R_{4b})carbonyl, C₁₋₄alkyloxycarbonyl or 4-(C₁₋₄alkyl)-piperazin-1-ylcarbonyl; and R₃ is nitro, cyano, amino, halo, hydroxy, C₁₋₄alkyloxy, hydroxycarbonyl, aminocarbonyl, aminothiocarbonyl, C₁₋₄alkyloxycarbonyl, C₁₋₄alkylcarbonyl, mono- or di(C₁₋₄alkyl)methanimidamidyl, N-hydroxy-methanimidamidyl or Het₁.

10. (Previously presented) A compound according to claim 1 wherein the compound has the formula (II)



11. (Previously presented) A compound according to claim 1 wherein R₃ is nitro.
12. (Previously presented) A compound according to claim 1 wherein R₁ is cyano.

13. (Previously presented) A compound according to claim 1 wherein R_1 is C_{1-4} alkyloxycarbonyl or C_{1-4} alkylaminocarbonyl.
14. (Previously presented) A compound according to claim 1 wherein R_2 is C_{2-6} alkyl.
15. (currently amended) A compound according to claim 1 wherein ~~the compound is~~ n is 1,
 R_1 is cyano, halo or oxadiazolyl optionally substituted with a substituent selected from the group consisting of C_{1-4} alkyl, C_{2-6} alkenyl, C_{3-7} cycloalkyl, hydroxy, C_{1-4} alkoxy, amino, cyano, trifluoromethyl, hydroxy C_{1-4} alkyl, cyano C_{1-4} alkyl, mono- or di(C_{1-4} alkyl)amino, amino C_{1-4} alkyl, mono- or di(C_{1-4} alkyl)amino C_{1-4} alkyl, aryl C_{1-4} alkyl, amino C_{2-6} alkenyl, mono- or di(C_{1-4} alkyl)amino C_{2-6} alkenyl, furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, aryl, hydroxycarbonyl, aminocarbonyl, C_{1-4} alkyloxycarbonyl, mono- or di(C_{1-4} alkyl)aminocarbonyl, C_{1-4} alkylcarbonyl, oxo, thio; and wherein any of the foregoing furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl and triazolyl moieties may optionally be substituted with C_{1-4} alkyl;
 R_2 is C_{1-6} alkyl, hydrogen, or C_{2-6} alkenyl; and
 R_3 is nitro, C_{1-6} alkyl optionally substituted with piperidinyl, pyrrolidinyl, $N(R_{4a}R_{4b})$, morpholinyl, pyridyl, cyano, or 4-(C_{1-4} alkyl)-piperazin-1-yl.
16. (currently amended) A compound according to claim 1 wherein the compound is
~~1-(4-Nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;~~
~~5-Methyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;~~
5-Isobutyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
5-Allyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
5-Butyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
5-Ethyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
5-(2-Morpholin-4-yl-ethyl)-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
5-Methyl-1-(4-nitro-phenyl)-1,5-dihydro-pyrido[3,2-b]indol-2-one;
5-But-3-enyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
1-(4-Nitro-phenyl)-2-oxo-5-(2-pyrrolidin-1-yl-ethyl)-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;

1-(4-Nitro-phenyl)-2-oxo-5-(2-piperidin-1-yl-ethyl)-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
5-(3-Dimethylamino-propyl)-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]-indole-3-carbonitrile;
3-Bromo-5-methyl-1-(4-nitro-phenyl)-1,5-dihydro-pyrido[3,2-b]indol-2-one
5-Methyl-1-(3-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
1-(4-Nitro-phenyl)-2-oxo-5-(3-piperidin-1-yl-propyl)-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
5-(4-Morpholin-4-yl-butyl)-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
1-(4-Nitro-phenyl)-2-oxo-5-(4-pyrrolidin-1-yl-butyl)-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
5-[3-(4-Methyl-piperazin-1-yl)-propyl]-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
5-Cyanomethyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
5-(3-Morpholin-4-yl-propyl)-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]-indole-3-carbonitrile;
1-(4-Nitro-phenyl)-2-oxo-5-(4-piperidin-1-yl-butyl)-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
5-(4-Dimethylamino-butyl)-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
1-(4-Nitro-phenyl)-2-oxo-5-pyridin-4-ylmethyl-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;
3-(5-tert-Butyl-[1,2,4]oxadiazol-3-yl)-5-methyl-1-(4-nitro-phenyl)-1,5-dihydro-pyrido[3,2-b]indol-2-one;
5-Methyl-1-(4-nitro-phenyl)-3-(5-trifluoromethyl-[1,2,4]oxadiazol-3-yl)-1,5-dihydro-pyrido[3,2-b]indol-2-one; or an N-oxide, salt or stereoisomer thereof.

17- 25. (Cancelled)

26. (Previously presented) A pharmaceutical composition, comprising an effective amount of at least one compound of formula (I) as defined in claim 1 and a pharmaceutically tolerable excipient.

27. (Cancelled)